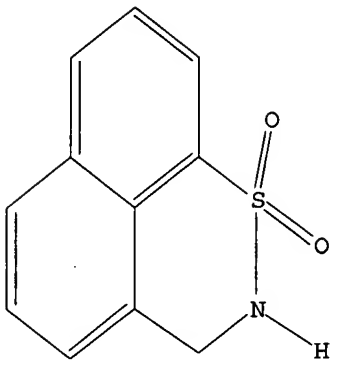

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    156 TO ITERATE

100.0% PROCESSED     156 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2371 TO     3869
PROJECTED ANSWERS:                0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:14:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2916 TO ITERATE

100.0% PROCESSED    2916 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

L3             3 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 155.42     155.63

FILE 'CAPLUS' ENTERED AT 08:15:03 ON 17 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 17 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 15 Dec 2004 (20041215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 5 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:819372 CAPLUS
DOCUMENT NUMBER: 132:49830
TITLE: Preparation of naphtho[1,8-de]thiasin-2-yl methyl carbapenem antibacterials
INVENTOR(S): Ratcliffe, Ronald W.; Dykstra, Kevin D.; Blizzard, Timothy A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967242	A1	19991229	WO 1999-US14235	19990623
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335510	AA	19991229	CA 1999-2335510	19990623
AU 9947118	A1	20000110	AU 1999-47118	19990623
EP 1090000	A1	20010411	EP 1999-930616	19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
US 6346526	B1	20020212	US 1999-338646	19990623
JP 2002518498	T2	20020625	JP 2000-555895	19990623
PRIORITY APPLN. INFO.:			US 1998-90613P P	19980625
			WO 1999-US14235 W	19990623

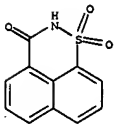
OTHER SOURCE(S): MARPAT 132:49830
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

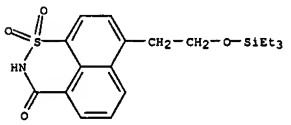
AB Carbapenem derivs. of formula I [P = H, (substituted) OH, F; R1 = H, Me; M = H, anion, ester group; X = CH2, CO; R = (substituted) Ph, alkenyl, etc.; n = 0-4] are prepared as antibacterial agents (no data). Thus, II is prepared by adding 1,1-dioxo-2,3-dihydronaphtho[1,8-de]thiasin-3-one to III, then deblocking.
IT **29083-20-3 252908-64-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of naphtho[1,8-de]thiasin-2-yl Me carbapenem antibacterials)

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

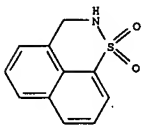
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 29083-20-3 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 1,1-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 252908-64-8 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 7-[2-[(triethylsilyl)oxy]ethyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

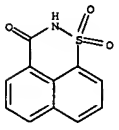


IT **225531-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphtho[1,8-de]thiasin-2-yl Me carbapenem antibacterials)
RN 225531-06-6 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazine, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



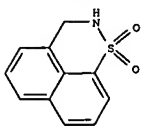
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:193190 CAPLUS
DOCUMENT NUMBER: 131:5125
TITLE: Synthesis and activity of 2-(sulfonamido)methylcarbapenems: discovery of a novel, anti-MRSA 1,8-naphthosultam pharmacophore
AUTHOR(S): Wilkening, R. R.; Ratcliffe, R. W.; Wildonger, K. J.; Cama, L. D.; Dykstra, K. D.; DiNinno, F. P.; Blizzard, T. A.; Hammond, M. L.; Heck, J. V.; Dorso, K. L.; St. Rose, E.; Kohler, J.; Hammond, G. G.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Merck and Co., Inc., Rahway, NJ, 07065-0900, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(5), 673-678
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1β-Me carbapenems substituted at the 2-position with lipophilic, acyclic and cyclic (sulfonamido)methyl groups were prepared and evaluated for activity against resistant gram-pos. bacteria. The 1,8-naphthosultamyl group emerged as a novel, PBP2a-binding, anti-MRSA pharmacophore worthy of further exploration.
IT **29083-20-3 225531-06-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antibacterial activity of 2-(sulfonamido)methylcarbapenems)
RN 29083-20-3 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 1,1-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 225531-06-6 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazine, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



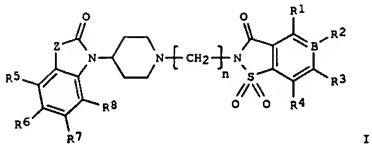
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:392146 CAPLUS
DOCUMENT NUMBER: 129:54361
TITLE: Preparation of benzisothiazolones and analogs as α1C-adrenergic receptor antagonists
INVENTOR(S): Huff, Joel R.; Lee, Hee-yoon; Nerenberg, Jennie B.; Thompson, Wayne J.; Bell, Ian M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 57 pp., Cont.-in-part of U. S. Ser. No. 229,276,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760054	A	19980602	US 1996-722001	19961001
WO 9528397	A1	19951026	WO 1995-US4590	19950413
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1994-229276	B2 19940413
			WO 1995-US4590	W 19950413

OTHER SOURCE(S): MARPAT 129:54361
GI

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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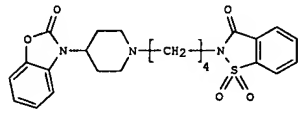


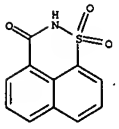
II

AB The invention relates to the claimed title compds. I [n = 3-5; B = C or N;
R1, R2, R3, R4 = H, halo, NO2, NH2, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy; Z = O, S, CH2, NH, NMe] and analogs. Also disclosed are the synthesis and use of the compds. as selective α1C-adrenergic receptor antagonists. The primary application of the compds. is in the treatment of benign prostatic
hypertrophy (BPH). The compds. selectively relax smooth muscle tissue enriched in the α1C receptor subtype without inducing orthostatic hypotension. The compds. provide acute relief of BPH by permitting less hindered urine flow. I and analogs are also useful in combination with human 5α-reductase inhibitors, providing both acute and chronic relief from the effects of BPH. Approx. 130 specific invention compds. are disclosed. The cloning and use of a cDNA for a human α1C adrenoceptor in an in vitro assay is described. For instance, alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl (prepared in 4 steps) with 2-(4-bromobutyl)-1,1-dioxido-1,2-benzisothiazol-3(2H)-one in the presence of (i-Pr)2NEt in DMF gave 40% title compound II. Selected compds. showed nanomolar or subnanomolar affinity for human α1C receptor subtype while showing 30-fold lower affinity for human α1A and α1B subtypes (no data).

IT 29083-20-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzisothiazolones and analogs as α1C-adrenergic antagonists)

RN 29083-20-3 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 1,1-dioxide (8CI, 9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



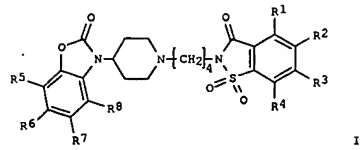
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:998362 CAPLUS
DOCUMENT NUMBER: 124:176079
TITLE: Preparation of heterocycles as α1c adrenergic receptor antagonists
INVENTOR(S): Huff, Joel R.; Lee, Hee-Yoon; Nerenberg, Jennie B.; Thompson, Wayne J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528397	A1	19951026	WO 1995-US4590	19950413
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2187767	AA	19951026	CA 1995-2187767	19950413
AU 9523566	A1	19951110	AU 1995-23566	19950413
AU 688498	B2	19980312		
EP 755392	A1	19970129	EP 1995-917565	19950413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512016	T2	19971202	JP 1995-527097	19950413
US 5760054	A	19980602	US 1996-722001	19961001
PRIORITY APPLN. INFO.:			US 1994-229276 A	19940414
			WO 1995-US4590 W	19950413

OTHER SOURCE(S): MARPAT 124:176079
GI

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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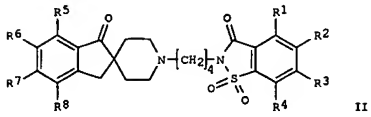
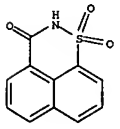


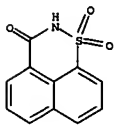
II

AB Title compds. such as I (R1, R2, R3, R4 = H, NO2, NH2, etc.; R5, R6, R7, R8 = H, alkyl, alkenyl, alkoxy, etc.) and II, effective testosterone reductase inhibitors useful in treatment of benign prostatic hyperplasia, were prepared Alkylation of 1-(4-piperidinyl)-3-benzoxazolin-2-one.HCl with 2-(4-bromobutyl)-1,1-dioxo-1,2-benzothiazol-3(2H)-one in the presence of (i-Pr)2NEt in DMF afforded 40% I (R1-R8 = H). Title compds. are effective at 0.001 mg/kg - 7 mg/kg per day in humans.
IT **29083-20-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycles as α1c adrenergic receptor antagonists)
RN 29083-20-3 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 1,1-dioxide (8CI, 9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:463679 CAPLUS
DOCUMENT NUMBER: 75:63679
TITLE: Preparation of substituted 1,2-benzoisothiazolin-3-one 1,1-dioxides (o-benzoic sulfimides)
AUTHOR(S): Lombardino, Joseph G.
CORPORATE SOURCE: Med. Res. Lab., Pfizer Co., Inc., Groton, CT, USA
SOURCE: Journal of Organic Chemistry (1971), 36(13), 1843-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 75:63679
GI For diagram(s), see printed CA Issue.
AB N-(tert-Butyl)benzenesulfonamides (I) are converted to 1,2-benzoisothiazolin-3-one 1,1-di-oxides (II) by lithiation (BuLi), carbonation, and cyclization (polyphosphoric acid). N-Benzyl analogs of the I are not debenzylated. 2,3-Dihydro-3-oxonaphtho[1,8-de][1,2]thiazine 1,1-dioxide (III) is prepared by the same series of reactions from 1-C10H7SO2NHCMe3.
IT **29083-20-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29083-20-3 CAPLUS
CN Naphtho[1,8-de]-1,2-thiazin-3(2H)-one, 1,1-dioxide (8CI, 9CI) (CA INDEX NAME)



Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
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L2	1054	$1,2-thiazin$	USPAT	OR	OFF	2004/12/17 08:51
L3	26	l1 and l2	USPAT	OR	OFF	2004/12/17 08:51

Day : Friday
Date: 12/17/2004
Time: 09:04:41

PALM INTRANET

Inventor Information for 10/699374

Inventor Name	City	State/Country
CECI, ANGELO	BIBERACH	GERMANY
KLINDER, KLAUS	OGGELSHAUSEN	GERMANY
WEISER, THOMAS	NIEDER-OLM	GERMANY
WINTER, KARIN	GAU-ALGESHEIM	GERMANY

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# Search **or Patent#** Search

PCT / / Search **or PG PUBS #** Search

Attorney Docket # Search

Bar Code # Search

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